EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	159	514/663.ccls.	US-PGPUB; USPAT	OR	OFF	2006/09/08 17:37
L2	9	514/663.ccls. and phosphonic	US-PGPUB; USPAT	OR	OFF	2006/09/08 17:37
L3	24	564/15.ccls. and phosphonic	US-PGPUB; USPAT	OR	OFF	2006/09/08 17:37
S1	1	("5728650").PN.	USPAT; USOCR	OR	OFF	2006/09/08 17:12
S2	1	("6437165").PN.	USPAT; USOCR	OR	OFF	2006/09/08 14:43
S3	1	("5830869").PN.	USPAT; USOCR	OR	OFF	2006/09/08 14:44
S4	1	("5677282").PN.	USPAT; USOCR	OR	OFF	2006/09/08 14:58
S5	1	("6670399").PN.	USPAT; USOCR	OR	OFF	2006/09/08 15:02
S6	1	("5728650").PN.	USPAT; USOCR	OR	OFF	2006/09/08 15:02
S7	1	("6670339").PN.	USPAT; USOCR	OR	OFF	2006/09/08 15:49
S8	1	("6670399").PN.	USPAT; USOCR	OR	OFF	2006/09/08 17:02
S9	14321	"phosphonic acid"	USPAT	OR	OFF	2006/09/08 17:02
S10	2761	"phosphonic acid".clm.	USPAT	OR	OFF	2006/09/08 17:02
S11	1199	"phosphonic acid".clm. and method.clm.	USPAT	OR	OFF	2006/09/08 17:02
S12	266	"phosphonic acid".clm. and method.clm. and treating.clm.	USPAT	OR	OFF	2006/09/08 17:02
S13	167	"phosphonic acid".clm. and method.clm. and treating.clm. and alkyl.clm.	USPAT	OR	OFF	2006/09/08 17:02
S14	116	"phosphonic acid".clm. and method.clm. and treating.clm. and alkyl.clm. and amine	USPAT	OR	OFF	2006/09/08 17:03
S15	0	"phosphonic acid".clm. and method.clm. and treating.clm. and alkyl.clm. and amine and "salt." "clm."	USPAT	OR	OFF	2006/09/08 17:03
S16	0	"phosphonic acid".clm. and method.clm. and treating.clm. and alkyl.clm. and amine and "salt.clm."	USPAT	OR	OFF	2006/09/08 17:03
S17	67	"phosphonic acid".clm. and method.clm. and treating.clm. and alkyl.clm. and amine and salt.clm.	USPAT	OR	OFF	2006/09/08 17:03

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NEWS	4	MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS	5	MAY 11	KOREAPAT updates resume
NEWS	6	MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS	7	MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS	8	MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS	9	JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS	10	JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and and display fields
NEWS	11	JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS	12	JUl 11	CHEMSAFE reloaded and enhanced
NEWS	13	JUl 14	FSTA enhanced with Japanese patents
NEWS	14	JUl 19	Coverage of Research Disclosure reinstated in DWPI
NEWS	15	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	16	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	17	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 13:30:20 ON 08 SEP 2006

=> fil reg

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FULL ESTIMATED COST	0.21	0.21

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=>
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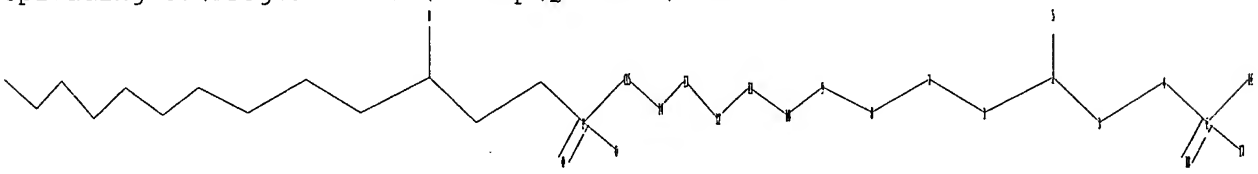

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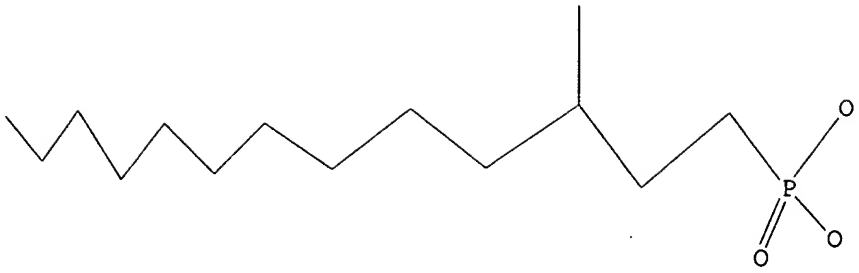
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18
chain bonds :
1-2 1-7 2-3 2-5 3-4 4-6 6-16 6-17 6-18 7-8 8-9 9-10 10-11 11-12 12-13
13-14 14-15
exact/norm bonds :
2-5 6-16 6-17 6-18
exact bonds :
1-2 1-7 2-3 3-4 4-6 7-8 8-9 9-10 10-11 11-12 12-13 13-14 14-15

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS
18:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -       35 TO ITERATE

100.0% PROCESSED       35 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          346 TO     1054
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED -      759 TO ITERATE

100.0% PROCESSED      759 ITERATIONS                               27 ANSWERS
SEARCH TIME: 00.00.01

L3           27 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 13:31:05 ON 08 SEP 2006
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=> s l3
L4            7 L3

=> d ibib abs hitstr tot
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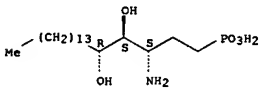
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:815303 CAPLUS
DOCUMENT NUMBER: 142:23441
TITLE: An Efficient Preparation of Isosteric Phosphonate Analogues of Sphingolipids by Opening of Oxirane and Cyclic Sulfamidate Intermediates with α-Lithiated Alkylphosphonic Esters
AUTHOR(S): Sun, Chaode; Bittman, Robert
CORPORATE SOURCE: Department of Chemistry and Biochemistry, Queens College, The City University of New York, Flushing, NY, 11367-1597, USA
SOURCE: Journal of Organic Chemistry (2004), 69(22), 7694-7699
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:23441
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB D-Erythro-(2S,3R,4E)-Sphingosine-1-phosphonate (I; X = CH2), the isosteric phosphonate analog of a naturally occurring sphingosine 1-phosphate I (X = O), and D-ribo-phytosphingosine 1-phosphonate (II; X = CH2), the isosteric phosphonate analog of D-ribo-phytosphingosine-1-phosphate (II; X = O), were synthesized starting with Me 2,3-O-isopropylidene-D-glycerate (III) and D-ribo-phytosphingosine (IV), resp. The phosphonate groups were introduced via regioselective ring-opening reactions of oxirane V and cyclic sulfamidate VI with lithium dialkyl methylphosphonate. The synthesis of I (X = CH2) was completed by SN2 displacement of chloromesylate intermediate VII with azide ion, followed by conversion of the resulting azido group to a NHBoc group and deprotection. The synthesis of II (X = CH2) was completed by cleavage of the acetal, N-benzyl, and alkyl phosphonate ester groups.
IT 800407-42-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(efficient preparation of isosteric phosphonate analogs of sphingolipids)
RN 800407-42-5 CAPLUS
CN Phosphonic acid, [(3S,4S,5R)-3-amino-4,5-dihydroxynonadecyl]- (9CI) (CA INDEX NAME)

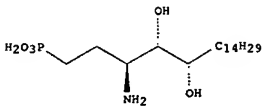
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:559585 CAPLUS
DOCUMENT NUMBER: 141:243747
TITLE: Synthesis of L-lyxo-Phytosphingosine and Its 1-Phosphonate Analogue Using a Threitol Acetal Synthon
AUTHOR(S): Lu, Xuequan; Byun, Hoe-Sup; Bittman, Robert
CORPORATE SOURCE: Department of Chemistry and Biochemistry, Queens College of The City University of New York, Flushing, NY, 11367-1597, USA
SOURCE: Journal of Organic Chemistry (2004), 69(16), 5433-5438
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:243747
GI



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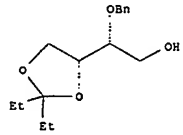
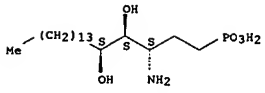


II

AB The first synthesis of an isosteric phosphonate analog I of the aminotriol lipid phytosphingosine, together with an improved synthesis of (2S,3S,4S)-phytosphingosine, are described. A key intermediate is 3-pentylidene acetal II, which was prepared in two steps from di-Me 2,3-O-benzylidene-D-tartrate.
IT 753020-00-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of L-lyxo-phytosphingosine and its 1-phosphonate analog using a threitol acetal synthon)
RN 753020-00-7 CAPLUS
CN Phosphonic acid, [(3S,4S,5S)-3-amino-4,5-dihydroxynonadecyl]- (9CI) (CA INDEX NAME)

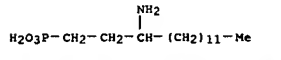
Absolute stereochemistry. Rotation (-).

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

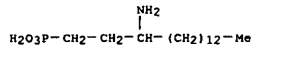


REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:465499 CAPLUS
DOCUMENT NUMBER: 141:133550
TITLE: The discovery of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists
AUTHOR(S): Hale, Jeffrey J.; Doherty, George; Toth, Leslie; Li, Zhen; Mills, Sander G.; Hajdu, Richard; Keohane, Carol Ann; Rosenbach, Mark; Milligan, James; Shei, Gan-Ju; Chrebet, Gary; Bergstrom, James; Card, Deborah; Rosen, Hugh; Mandala, Suzanne
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3495-3499
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:133550
AB 3-(N-Alkyl)aminopropylphosphonic acids are potent agonists of four of the five known sphingosine-1-phosphate (S1P) receptor subtypes and are useful in immunosuppressive therapy.
IT 596820-08-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)

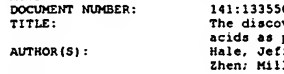


IT 597340-90-4 597340-97-1 597341-03-2
597341-12-3 725724-57-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 597340-90-4 CAPLUS
CN Phosphonic acid, (3-aminohexadecyl)- (9CI) (CA INDEX NAME)



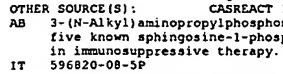
RN 597340-97-1 CAPLUS
CN Phosphonic acid, (3-aminoheptadecyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 597341-03-2 CAPLUS
CN Phosphonic acid, (3-aminooctadecyl)- (9CI) (CA INDEX NAME)

RN 597341-12-3 CAPLUS
CN Phosphonic acid, (3-aminoheneicosyl)- (9CI) (CA INDEX NAME)



RN 725724-57-2 CAPLUS
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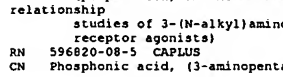
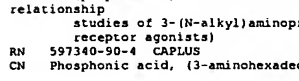


IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



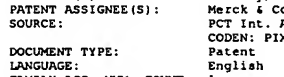
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719274 CAPLUS
DOCUMENT NUMBER: 139:246116
TITLE: Preparation of aminoalkylphosphonates and related compounds as EDG receptor agonists
INVENTOR(S): Doherty, George A.; Hale, Jeffrey J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

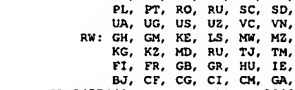
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074008	A2	20030912	WO 2003-US7262	20030225
WO 2003074008	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477449	AA	20030912	CA 2003-2477449	20030225
AU 2003218056	A1	20030916	AU 2003-218056	20030225
EP 1482896	A2	20041208	EP 2003-714037	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107345	A1	20050519	US 2003-505268	20030225
JP 2005531508	T2	20051020	JP 2003-572530	20030225
PRIORITY APPLN. INFO.:			US 2002-360605P	P 20020301
			WO 2003-US7262	W 20030225

OTHER SOURCE(S): MARPAT 139:246116
AB The present invention encompasses title compds., A-X[CR1R2]mCHNH2[CR3R4]pC(R9)3 (m = 1-4; p = 9-20; X = bond, O, NH, S(O)k, k = 0-2; A = CO2H, PO3H2, PO2H2, SO3H, five membered nitrogen containing heterocyclyl, etc.; two R1 or R3 groups on adjacent carbon may be joined together to form a double bond; R2, R3, R4 = H, halo, OH, CO2H, C1-4 alkyl, alkoxy, alkylthio, aryl, etc.; R1-R4 = residing on the same carbon optionally joined together to form a carbonyl group, etc.; R9 = H, halo, OH, C1-4 alkoxy, alkylthio, C3-7 cycloalkyl, etc.); as well as the pharmaceutically acceptable salts and hydrates thereof. The compds. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compns. and methods of use are included. Thus, preparation of (+/-)-2-amino-4-(4-(octylphenyl))butanol, O-phosphate was described in five steps starting from di-Et 2-acetamido-2-(2-(4-octylphenyl)ethyl)propanedioate.
IT 596820-08-5P
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)



IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

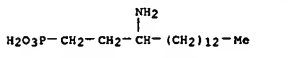


L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719253 CAPLUS
DOCUMENT NUMBER: 139:245479
TITLE: Preparation of aminoalkylphosphonates and related compounds as EDG receptor agonists
INVENTOR(S): Budhu, Richard J.; Doherty, George A.; Hale, Jeffrey J.; Lynch, Christopher L.; Mills, Sander G.; Neway, William E., III
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

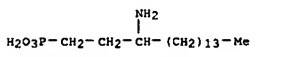
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003073986	A2	20030912	WO 2003-US5947	20030227
WO 2003073986	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477423	AA	20030912	CA 2003-2477423	20030227
AU 2003217764	A1	20030916	AU 2003-217764	20030227
EP 1482895	A2	20041208	EP 2003-713727	20030227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531506	T2	20051020	JP 2003-572508	20030227
US 2006089334	A1	20060427	US 2004-505257	20040819
PRIORITY APPLN. INFO.:			US 2002-360663P P	20020301
			WO 2003-US5947 W	20030227

OTHER SOURCE(S): MARPAT 139:245479
AB AX(CR1R2)mCH(NH2)(CR3R4)nArBC [A = CO2H, P(O)(OH)2, PH(O)(OH),SO3H, P(O)R5OH, 5-membered N heterocycle; X = bond, O, NH, S, S, S(O), SO2; R1-R4 = H, halogen, OH, CO2H, (un)substituted alkyl, alkoxy, alkylthio, aryl; R1R2, R3R4 = O; m = 1-4; n = 0-4; R5 = (un)substituted alkyl, aryl; Ar = Ph, naphthyl; C = (un)substituted alkyl, alkoxy, acyl, hydroxyalkyl, Ph, heterocyclic, bond; when C = bond, B = (un)substituted Ph, alkyl, alkenyl, alkynyl, OH, SH, acyl, CONH2, NH2; when C = Ph, heterocyclic, B = (un)substituted alkyl, alkoxy, acyl, CO, CH(OH), C6H4, heterocyclic; when C = alkyl, alkoxy, acyl, B = (un)substituted C6H4, heterocyclic] were prepared for use as EDG receptor antagonists useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection (no data). Thus, 4-Me(CH2)7C6H4CH2CH2C(NHAc)(CO2Et)2 was hydrolyzed and decarboxylated to 4-Me(CH2)7C6H4CH2CH2CH(NH2)CO2H which was N-benzyloxycarbonylated, reduced to 4-Me(CH2)7C6H4CH2CH2CH(NHCbz)CH2OH, phosphorylated (MeCH)2NP(OCH2Ph)2, and deblocked to give

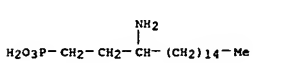
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



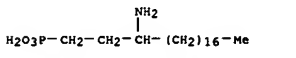
RN 597340-97-1 CAPLUS
CN Phosphonic acid, (3-aminoheptadecyl)- (9CI) (CA INDEX NAME)



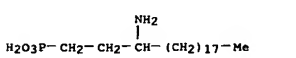
RN 597341-03-2 CAPLUS
CN Phosphonic acid, (3-aminooctadecyl)- (9CI) (CA INDEX NAME)



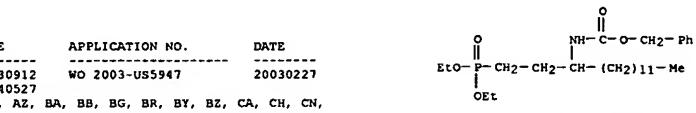
RN 597341-07-6 CAPLUS
CN Phosphonic acid, (3-aminoeicosyl)- (9CI) (CA INDEX NAME)



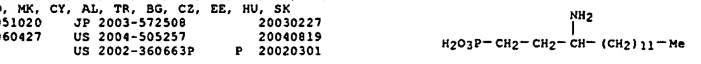
RN 597341-12-3 CAPLUS
CN Phosphonic acid, (3-aminoheneicosyl)- (9CI) (CA INDEX NAME)



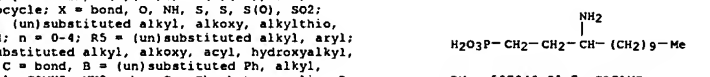
L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
4-Me(CH2)7C6H4CH2CH2CH(NH2)CH2OP(O)(OH)2.
IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



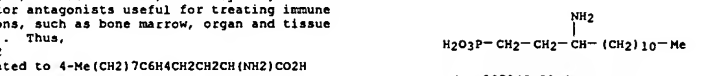
IT 596820-08-5P 597340-83-5P 597340-85-7P
597340-90-4P 597340-97-1P 597341-03-2P
597341-07-6P 597341-12-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)



RN 597340-83-5 CAPLUS
CN Phosphonic acid, (3-aminotridecyl)- (9CI) (CA INDEX NAME)



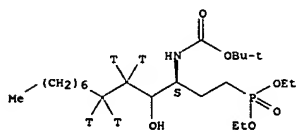
RN 597340-85-7 CAPLUS
CN Phosphonic acid, (3-aminotetradecyl)- (9CI) (CA INDEX NAME)



RN 597340-90-4 CAPLUS
CN Phosphonic acid, (3-aminohexadecyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:365475 CAPLUS
DOCUMENT NUMBER: 127:65608
TITLE: Synthesis of tritium labeled phosphonate analogs of sphinganine-1-phosphate
AUTHOR(S): Schick, Andreas; Schwarzmann, Guenter; Kolter, Thomas; Sandhoff, Konrad
CORPORATE SOURCE: Institut fur Organische Chemie und Biochemie der Universitat Bonn, Bonn, D-53121, Germany
SOURCE: Journal of Labelled Compounds & Radiopharmaceuticals (1997), 39(5), 441-451
CODEN: JLCRD4; ISSN: 0362-4803
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tritiated phosphonates H2O3PCH2CH(NH2)CH(OH)CT2CT2(CH2)6Me.HCl are prepared as analogs of sphinganine-1-phosphate (S,R)-H2O3PCH2CH(NH2)CH(OH)(CH2)14Me. The key step in this synthesis is the catalytic tritiation of the triple bond in reduction of the protected phosphonate 3-(S)-Et2O4PCH2CH(NHBOC)CH(OH)C.tplbond.C(CH2)6Me by means of sodium boro[3H]hydride as tritium source. These compds. are synthesized to study their metabolic stability and to evaluate their biol. properties.
IT 191599-68-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of tritium labeled phosphonate analogs of sphinganine-1-phosphate)
RN 191599-68-5 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]-2-hydroxyundecyl-3,3,4,4-t4]-, 1,1-dimethylethyl ester, (1S)- (9CI) (CA INDEX NAME)

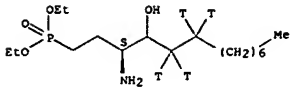
Absolute stereochemistry.



IT 191599-69-6P 191599-70-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of tritium labeled phosphonate analogs of sphinganine-1-phosphate)
RN 191599-69-6 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytridecyl-5,5,6,6-t4)-, diethyl ester, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

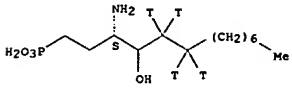
L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 191599-70-9 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytridecyl-5,5,6,6-t4)-, hydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:869269 CAPLUS
DOCUMENT NUMBER: 124:87154
TITLE: Synthesis of phosphonate analogs of sphinganine-1-phosphate and sphingosine-1-phosphate
AUTHOR(S): Schick, Andreas; Kolter, Thomas; Giannis, Athanassios;
Sandhoff, Konrad
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-53121, Germany
SOURCE: Tetrahedron (1995), 51(41), 11207-18
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:87154
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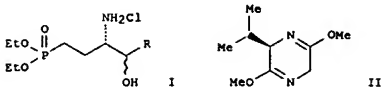
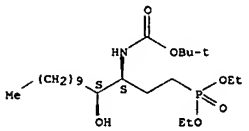


I II

AB Phosphonic acids I [R = (CH2)9Me, CH:CH(CH2)6Me] were prepared as analogs of
sphinganine-1-phosphate and sphingosine-1-phosphate. Key steps of the synthesis are the highly stereoselective conjugate addition of the lithium
salt of Schoellkopf's bis-lactim ether II to di-Et vinylphosphonate.
IT 172297-58-4P 172297-59-5P 172342-73-3P
172422-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of phosphonate analogs of sphinganine-1-phosphate and sphingosine-1-phosphate via stereoselective addition of Schoellkopf's bis-lactim ether to vinylphosphonate)
RN 172297-58-4 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]-2-hydroxydodecyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

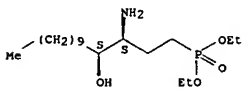
Absolute stereochemistry.



RN 172297-59-5 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytetradecyl)-, diethyl ester, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

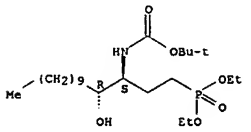
Absolute stereochemistry.



● HCl

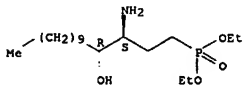
RN 172342-73-3 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]-2-hydroxydodecyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 172422-64-9 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytetradecyl)-, diethyl ester, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

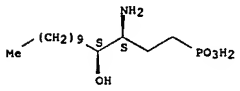


● HCl

IT 172297-60-8P 172342-74-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of phosphonate analogs of sphinganine-1-phosphate and sphingosine-1-phosphate via stereoselective addition of Schoellkopf's bis-lactim ether to vinylphosphonate)
RN 172297-60-8 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytetradecyl)-, hydrochloride, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

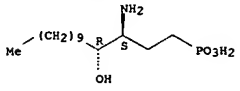
L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



● HCl

RN 172342-74-4 CAPLUS
CN Phosphonic acid, (3-amino-4-hydroxytetradecyl)-, hydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	36.23	203.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.25	-5.25

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 NEWS  4  MAY 10  CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  5  MAY 11  KOREAPAT updates resume
 NEWS  6  MAY 19  Derwent World Patents Index to be reloaded and enhanced
 NEWS  7  MAY 30  IPC 8 Rolled-up Core codes added to CA/CAplus and
                  USPATFULL/USPAT2
 NEWS  8  MAY 30  The F-Term thesaurus is now available in CA/CAplus
 NEWS  9  JUN 02  The first reclassification of IPC codes now complete in
                  INPADOC
 NEWS 10  JUN 26  TULSA/TULSA2 reloaded and enhanced with new search and
                  and display fields
 NEWS 11  JUN 28  Price changes in full-text patent databases EPFULL and PCTFULL
 NEWS 12  JUl 11  CHEMSAFE reloaded and enhanced
 NEWS 13  JUl 14  FSTA enhanced with Japanese patents
 NEWS 14  JUl 19  Coverage of Research Disclosure reinstated in DWPI
 NEWS 15  AUG 09  INSPEC enhanced with 1898-1968 archive
 NEWS 16  AUG 28  ADISCTI Reloaded and Enhanced
 NEWS 17  AUG 30  CA(SM)/CAplus(SM) Austrian patent law changes

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

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 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 14:46:44 ON 08 SEP 2006

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 14:46:49 ON 08 SEP 2006
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 SEP 2006 HIGHEST RN 906063-52-3
DICTIONARY FILE UPDATES: 7 SEP 2006 HIGHEST RN 906063-52-3

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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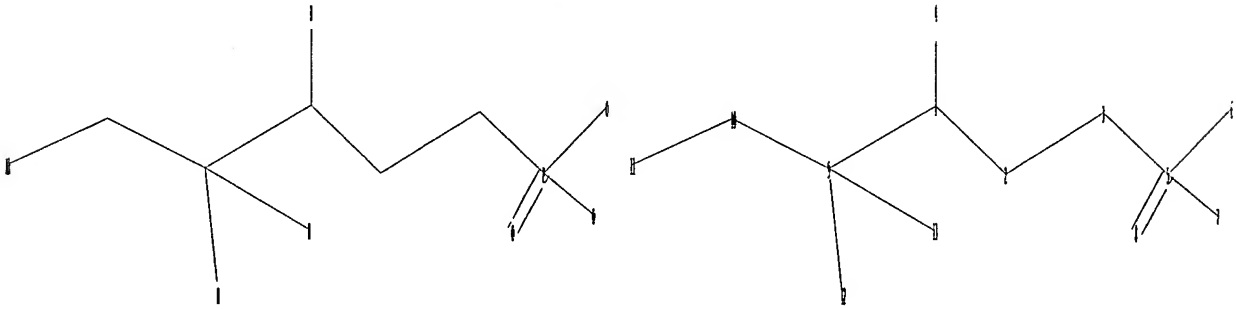

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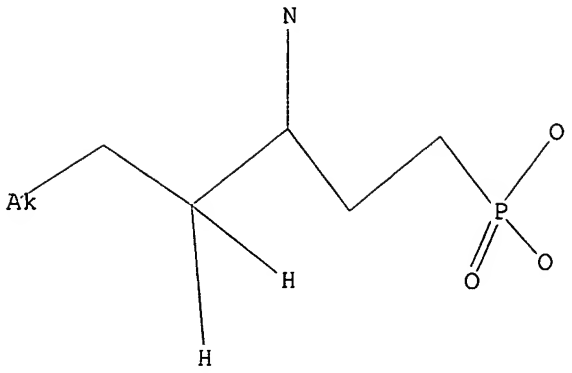
exact/norm bonds :
1-4 5-6 5-7 5-8 10-11
exact bonds :
1-2 1-9 2-3 3-5 9-10 9-12 9-13

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 14:47:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       70 TO ITERATE

100.0% PROCESSED       70 ITERATIONS                                 6 ANSWERS
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          899 TO     1901
PROJECTED ANSWERS:               6 TO      266

L2            6 SEA SSS SAM L1

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FULL SEARCH INITIATED 14:47:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1445 TO ITERATE

100.0% PROCESSED     1445 ITERATIONS                                86 ANSWERS
SEARCH TIME: 00.00.01

L3           86 SEA SSS FUL L1

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   166.94     167.15

FILE 'CAPLUS' ENTERED AT 14:47:09 ON 08 SEP 2006
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FILE LAST UPDATED: 7 Sep 2006 (20060907/ED)

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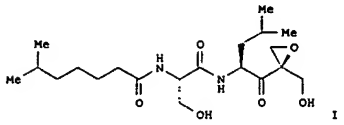
=> s l3
L4   27 L3

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 137.97 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1263847 CAPLUS
DOCUMENT NUMBER: 144:129209
TITLE: Towards immunoproteasome-specific inhibitors: An improved synthesis of dihydroeponemycin
AUTHOR(S): Ho, Abby; Cyrus, Kedra; Kim, Kyung-Bo
CORPORATE SOURCE: Department of Pharmaceutical Sciences, College of Pharmacy, University of Kentucky, Lexington, KY, 40536, USA
SOURCE: European Journal of Organic Chemistry (2005), (22), 4829-4834
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

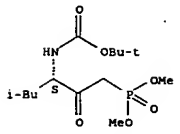


I

AB Eponemycin, an antitumor and antiangiogenic epoxy ketone natural product, is previously shown to target proteasome for its activity. Although there have been many synthetic approaches developed, practical and efficient synthetic strategy for eponemycin has yet to be accomplished. Here, the authors report an efficient new route for the preparation of dihydroeponemycin (I), an biol. active eponemycin derivative Thus, using Boc-Leu-OMe, MeP(:O)(OMe)2 and Me2CH(CH2)4CO-Ser(SiPh2Bu-t)-OH as reactants and a combination of Wittig-Horner and Baylis-Hillman-type two-step "one-pot" reaction afforded I.
IT 108860-01-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and anticancer activity of dihydroeponemycin, a bioactive derivative of eponemycin)
RN 108860-01-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-3-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

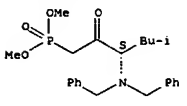
L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1068525 CAPLUS
Correction of: 2005:715079
DOCUMENT NUMBER: 144:108395
Correction of: 144:7053
TITLE: Preparation of phosphostatine and phosphoepistatine from L-leucine via high diastereoselective reduction of 3-amino-2-ketophosphonates
AUTHOR(S): De la Cruz-Cordero, Ricardo; Hernandes-Nunez, Emanuel; Fernandez-Zertuche, Mario; Angel Munoz-Hernandez, Miguel; Ordonez, Mario
CORPORATE SOURCE: Centro de Investigaciones Quimicas, Universidad Autonoma del Estado de Morelos, Cuernavaca, 62210, Mex.
SOURCE: ARKIVOC (Gainesville, FL, United States) (2005), (6), 277-286
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2005/I06_Jua risti/1547/EJ-1547C.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:108395
AB The reduction of (3S)-N,N-dibenzylamino-2-ketophosphonate 5 derived from L-leucine with catecholborane at -20° afford the (3S)-N,N-dibenzylamino-(2R)-hydroxyphosphonate syn-6, whereas the reduction of (3S)-N-benzylamino-2-ketophosphonate 9 with Zn(BH4)2 at -78° gave the (3S)-N-benzylamino-(2S)-hydroxyphosphonate anti-10. The reduction in both cases was in good chemical yields and high diastereoselectivity. The hydrolysis and hydrogenolysis of syn-6 and anti-10 gave phosphostatine and phosphoepistatine, resp.
IT 198635-24-4P 696620-07-2P 696620-83-4P 870123-35-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phosphostatine and phosphoepistatine from leucine via high diastereoselective reduction of aminoketophosphonates)
RN 198635-24-4 CAPLUS
CN Phosphonic acid, [(3S)-3-[bis(phenylmethyl)amino]-5-methyl-2-oxohexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

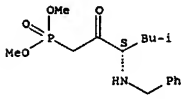
Absolute stereochemistry. Rotation (-).



RN 696620-07-2 CAPLUS
CN Phosphonic acid, [(3S)-5-methyl-2-oxo-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

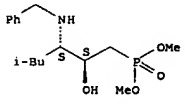
L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

Absolute stereochemistry.



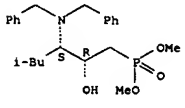
RN 696620-83-4 CAPLUS
CN Phosphonic acid, [(2S,3S)-2-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 870123-35-6 CAPLUS
CN Phosphonic acid, [(2R,3S)-3-[bis(phenylmethyl)amino]-2-hydroxy-5-methylhexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

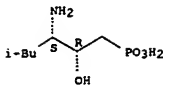
Absolute stereochemistry. Rotation (-).



IT 870123-36-7P 870123-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of phosphostatine and phosphoepistatine from leucine via high diastereoselective reduction of aminoketophosphonates)
RN 870123-36-7 CAPLUS
CN Phosphonic acid, [(2R,3S)-3-amino-2-hydroxy-5-methylhexyl]- (9CI) (CA INDEX NAME)

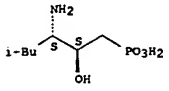
Absolute stereochemistry. Rotation (-).

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 870123-37-8 CAPLUS
CN Phosphonic acid, [(2S,3S)-3-amino-2-hydroxy-5-methylhexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



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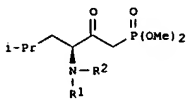
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:715079 CAPLUS
DOCUMENT NUMBER: 144:7053
TITLE: Preparation of phosphostatine and phosphoepistatine from L-leucine via high diastereoselective reduction of 3-amino-2-ketophosphonates
AUTHOR(S): De la Cruz-Cordero, Ricardo; Hernandes-Nunez, Emanuel;
Fernandez-Zertuche, Mario; Munoz-Hernandez, Miguel Angel; Ordonez, Mario
CORPORATE SOURCE: Centro de Investigaciones Quimicas, Universidad Autonoma del Estado de Morelos, Cuernavaca, 62210, Mex.
SOURCE: ARKIVOC (Gainesville, FL, United States) (2005), (6), 277-286
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ark/journal/2005/I06_Juaristi/1547/EJ-1547C.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
GI



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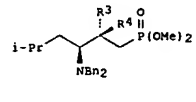

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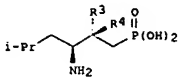
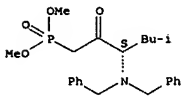


III

AB The reduction of (3S)-N,N-dibenzylamino-2-ketophosphonate I (R1 = R2 = CH2OH) derived from L-leucine with catecholborane at -20 °C afford the (3S)-N,N-dibenzylamino-(2R)-hydroxyphosphonate syn-6, whereas the reduction of (3S)-N-benzylamino-2-ketophosphonate I (R1 = H, R2 = CH2OH) with Zn(BH4)2 at -78 °C gave the (3S)-N-benzylamino-(2S)-hydroxyphosphonate II (R3 = OH, R4 = H). The reduction in both cases was in good chemical yields and high diastereoselectivity. The hydrolysis and hydrogenolysis of II (R3 = H, R4 = OH and R3 = OH, R4 = H) gave phosphostatine III (R3 = H, R4 = OH)12 and phosphoepistatine III (R3 = OH, R4 = H), resp.
IT 198635-24-4P 696620-07-2P 696620-83-4P
870123-35-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phosphostatine and phosphoepistatine from leucine via stereoselective reduction of aminoketophosphonates, following by hydrolysis

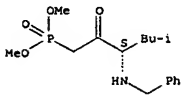
L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
and hydrogenolysis)
RN 198635-24-4 CAPLUS
CN Phosphonic acid, [(3S)-3-[bis(phenylmethyl)amino]-5-methyl-2-oxohexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



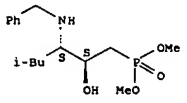
RN 696620-07-2 CAPLUS
CN Phosphonic acid, [(3S)-5-methyl-2-oxo-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 696620-83-4 CAPLUS
CN Phosphonic acid, [(2S,3S)-2-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

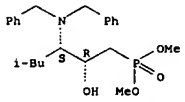
Absolute stereochemistry. Rotation (-).



RN 870123-35-6 CAPLUS
CN Phosphonic acid, [(2R,3S)-3-[bis(phenylmethyl)amino]-2-hydroxy-5-methylhexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

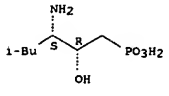
Absolute stereochemistry. Rotation (-).

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



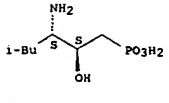
IT 870123-36-7P 870123-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of phosphostatine and phosphoepistatine from leucine via stereoselective reduction of aminoketophosphonates, following by hydrolysis and hydrogenolysis)
RN 870123-36-7 CAPLUS
CN Phosphonic acid, [(2R,3S)-3-amino-2-hydroxy-5-methylhexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



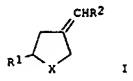
RN 870123-37-8 CAPLUS
CN Phosphonic acid, [(2S,3S)-3-amino-2-hydroxy-5-methylhexyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

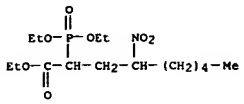
L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:331491 CAPLUS
DOCUMENT NUMBER: 143:43743
TITLE: Novel Synthesis, Cytotoxic Evaluation, and Structure-Activity Relationship Studies of a Series of α-Alkylidene-γ-lactones and Lactams
AUTHOR(S): Janecki, Tomasz; Blaszczyk, Edyta; Studzian, Kazimierz; Janecka, Anna; Krajewska, Urszula; Rozalski, Marek
CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
SOURCE: Journal of Medicinal Chemistry (2005), 48(10), 3516-3521
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:43743
GI



I

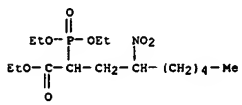
AB 5-Alkyl- and 5-arylalkyl-3-methylenedihydrofuran-2-ones, 3-alkylidenedihydrofuran-2-ones, and 3-methylenepyrrolidin-2-ones were synthesized utilizing Et 2-diethoxyphosphoryl-4-nitroalkanoates as common intermediates. All obtained compds. were tested against L-1210, HL-60, and NALM-6 leukemia cells. The highest cytotoxic activity was observed for 3-methylenefuranones I [X = O, R1 = PhCH2, 3,4-(MeO)2C6H3CH2, R2 = H] with IC50 values of 5.4 and 6.0 μM, resp. Contrary to the literature reports, no enhancement in activity due to the presence of a hydroxy group was found when the cytotoxicity of I [X = O, R = H, Me, R2 = H] and I [X = O, R1 = HOCH2, HOCHMe, HOCHPh, R2 = H] was compared. The anticancer activity of pyrrolidinones I [X = NH, R1 = Me, Et, pentyl, PhCH2, R2 = H; X = O, R1 = PhCH2, R2 = CHMe2, Ph, 1-naphthyl] was much weaker than that of I [X = O, R2 = H].
IT 838850-81-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, cytotoxicity, and structure-activity relationship of α-alkylidene-γ-lactones and -lactams)
RN 838850-81-0 CAPLUS
CN Nonanoic acid, 2-(diethoxyphosphinyl)-4-nitro-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



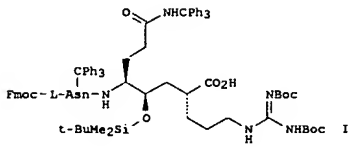
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:1128037 CAPLUS
DOCUMENT NUMBER: 142:197793
TITLE: 2-Diethoxyphosphoryl-4-nitroalkanoates - versatile intermediates in the synthesis of α-alkylidene-γ-lactones and lactams
AUTHOR(S): Blaszczyk, Edyta; Krawczyk, Henryk; Janecki, Tomasz
CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
SOURCE: Synlett (2004), (15), 2685-2688
CODEN: SYNLES; ISSN: 0936-5214
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:197793
AB Michael addition of various nitroalkanes to Et (2-diethoxyphosphoryl)acrylate gave 2-diethoxyphosphoryl-4-nitroalkanoates. Transformation of the nitro functionality into hydroxy or amino group and cyclization yielded 3-(diethoxyphosphoryl)tetrahydro-2-furanones or 3-(diethoxyphosphoryl)pyrrolidin-2-ones, resp. These compds. were then used in Horner-Wadsworth-Emmons olefinations of aldehydes to give 3-alkylidenedihydrofuran-2-ones and 3-methylidenepyrrolidin-2-ones.
IT 838850-81-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-diethoxyphosphoryl-4-nitroalkanoates and their conversion into 3-(diethoxyphosphoryl)tetrahydro-2-furanones or 3-(diethoxyphosphoryl)pyrrolidin-2-ones)
RN 838850-81-0 CAPLUS
CN Nonanoic acid, 2-(diethoxyphosphinyl)-4-nitro-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

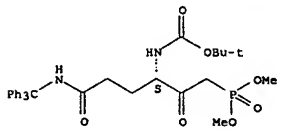
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:973347 CAPLUS
DOCUMENT NUMBER: 142:94118
TITLE: Synthesis of a Tripeptide Derivative Containing the Gln-Arg Hydroxyethylene Dipeptide Isostere
AUTHOR(S): Brewer, Matthias; James, Clint A.; Rich, Daniel H.
CORPORATE SOURCE: Department of Chemistry and School of Pharmacy, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Organic Letters (2004), 6(25), 4779-4782
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:94118
GI



I

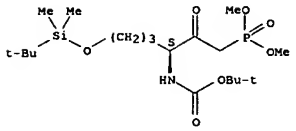
AB The Gln-Arg hydroxyethylene isostere-containing tripeptide I was synthesized. I is a component of potential peptidase inhibitors.
IT 817208-62-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of a tripeptide containing Gln-Arg hydroxyethylene dipeptide isostere)
RN 817208-62-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-4-oxo-4-[(triphenylmethyl)amino]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

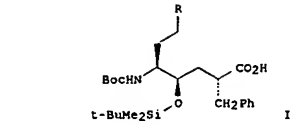
L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



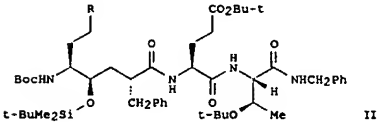
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:973346 CAPLUS
DOCUMENT NUMBER: 142:94117
TITLE: Synthesis of a Gln-Phe Hydroxy-ethylene Dipeptide Isostere
AUTHOR(S): Haug, Bengt Erik; Rich, Daniel H.
CORPORATE SOURCE: Department of Chemistry and School of Pharmacy, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Organic Letters (2004), 6(25), 4783-4786
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:94117
GI



I



II

AB The protected Gln-Phe hydroxyethylene dipeptide isostere I (R = CONHCH2C6H2(OMe)3-2,4,6) was synthesized as a precursor for the preparation of potential inhibitors of Botulinum neurotoxin B metalloprotease. Similarly, I (R = CH2OSiMe2Bu-t) with functionalized P1 side chains was synthesized. Both isosteres were incorporated into tetrapeptides II.

IT 471282-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of Gln-Phe hydroxy-ethylene dipeptide isosteres and their incorporation into tetrapeptides)

RN 471282-37-8 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

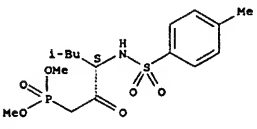
ACCESSION NUMBER: 2004:815430 CAPLUS
DOCUMENT NUMBER: 142:6601
TITLE: Diastereoselective reduction of dimethyl γ-[(N-p-toluenesulfonyl)amino]-β-ketophosphonates derived from amino acids
AUTHOR(S): Ordonez, Mario; De la Cruz-Cordero, Ricardo; Fernandez-Zertuche, Mario; Munoz-Hernandez, Miguel Angel; Garcia-Barradas, Oscar
CORPORATE SOURCE: Centro de Investigaciones Quimicas, Universidad Autonoma del Estado de Morelos, Cuernavaca, Mor., 62210, Mex.
SOURCE: Tetrahedron: Asymmetry (2004), 15(19), 3035-3043
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:6601

AB Reduction of di-Me γ-[(N-p-toluenesulfonyl)amino]-β-ketophosphonates with different hydrides gave di-Me γ-[(N-p-toluenesulfonyl)amino]-β-hydroxyphosphonates with good chemical yield and moderate diastereoselectivity. The configuration of all new stereogenic centers was assigned by X-ray anal. and chemical correlation.

IT 797034-76-5P
RL: FMU (Formation, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); FORM (Formation, nonpreparative); PREP (Preparation); RACT (Reactant or reagent)
(optimized mol. structure, stereoselective reduction; preparation and stereoselective reduction of di-Me [(toluenesulfonyl)amino]ketophosphonates derived from amino acids)

RN 797034-76-5 CAPLUS
CN Phosphonic acid, [(3S)-5-methyl-3-[[(4-methylphenyl)sulfonyl]amino]-2-oxohexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

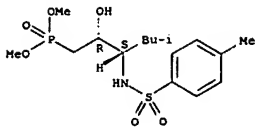


IT 797034-79-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and stereoselective reduction of di-Me [(toluenesulfonyl)amino]ketophosphonates derived from amino acids)

RN 797034-79-8 CAPLUS
CN Phosphonic acid, [(2R,3S)-2-hydroxy-5-methyl-3-[[(4-methylphenyl)sulfonyl]amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



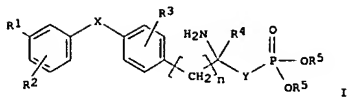
. REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:718547 CAPLUS
DOCUMENT NUMBER: 141:243684
TITLE: Preparation of aminophosphonic acid derivatives as S1P
receptor modulators
INVENTOR(S): Kohno, Yasushi; Tanaka, Kiyoteru; Kuriyama, Kazuhiko; Hori, Wataru
PATENT ASSIGNEE(S): Kyorin Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXDZ
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074297	A1	20040902	WO 2004-JP1783	20040218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004213297	A1	20040902	AU 2004-213297	20040218
CA 2515574	AA	20040902	CA 2004-2515574	20040218
EP 1602660	A1	20051207	EP 2004-712184	20040218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007658	A	20060221	BR 2004-7658	20040218
CN 1751054	A	20060322	CN 2004-80004551	20040218
US 2006160771	A1	20060720	US 2005-545790	20050817
PRIORITY APPLN. INFO.:			JP 2003-39269 A	20030218
			WO 2004-JP1783 W	20040218

OTHER SOURCE(S): MARPAT 141:243684
GI

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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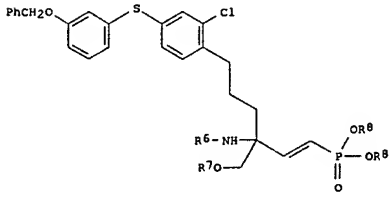
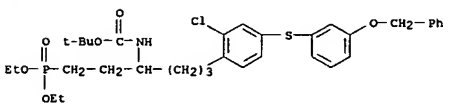


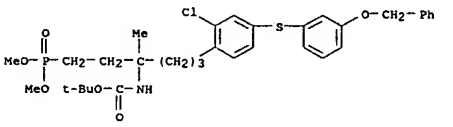
II

AB Title compds. I [R1 = H, halo, etc.; R2 = H, halo, etc.; R3 = H, halo, etc.; R4 = H, halo, etc.; R5 = H, alkyl; X = O, S, SO, SO2; Y = CH2O, etc.; n = 1-4] were prepared For example, saponification of compound II [R6 = BOC; R7 = CH2OMe; R8 = Me] using iodotrimethylsilane afforded compound II [R6 = R7 = R8 = H]. In calcium mobilization assays for sphingosine-1-phosphoric acid (S1P) receptor, the EC50 value for S1P1 of compound II [R6 = R7 = H; R8 = OH] was <0.01 μM. Of note, compds. I are useful for the treatment of arteriosclerosis, adult respiratory distress syndrome, etc.
IT 749262-76-8P 749263-05-6P 749263-10-3P
749263-21-6P 749263-30-7P 749263-33-0P
749263-34-1P 749263-37-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of aminophosphonic acid derivs. as S1P receptor modulators)
RN 749262-76-8 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(diethoxyphosphinyl)ethyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

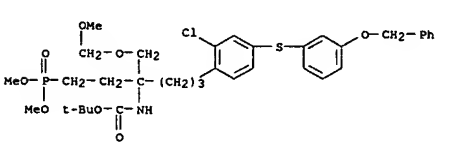
L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



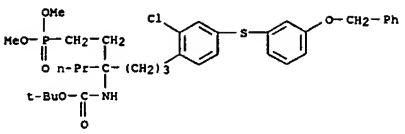
RN 749263-05-6 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(dimethoxyphosphinyl)ethyl]-1-methylbutyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



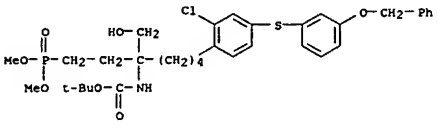
RN 749263-10-3 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(dimethoxyphosphinyl)ethyl]-1-[(methoxymethoxy)methyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



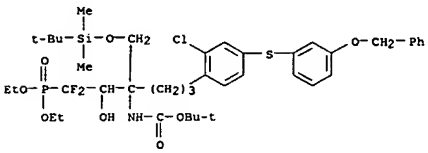
RN 749263-21-6 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(dimethoxyphosphinyl)ethyl]-1-propylbutyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)



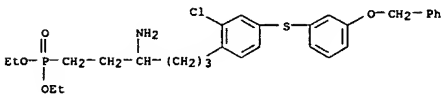
RN 749263-30-7 CAPLUS
CN Carbamic acid,
[5-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(dimethoxyphosphinyl)ethyl]-1-(hydroxymethyl)pentyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 749263-33-0 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(diethoxyphosphinyl)-2,2-difluoro-1-hydroxyethyl]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

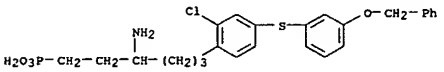


RN 749263-34-1 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1,1-difluoro-2-hydroxy-3-(hydroxymethyl)hexyl]-, diethyl ester, hydrochloride (9CI) (CA INDEX NAME)

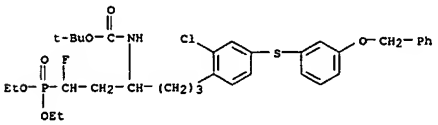


● HCl

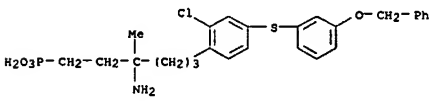
RN 749262-87-1 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]hexyl]- (9CI) (CA INDEX NAME)

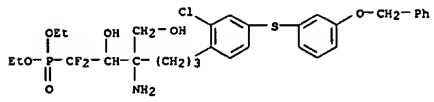


RN 749263-03-4 CAPLUS
CN Carbamic acid,
[4-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1-[2-(diethoxyphosphinyl)-2-fluoroethyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



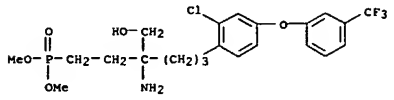
RN 749263-06-7 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-3-methylhexyl]- (9CI) (CA INDEX NAME)





● HCl

RN 749263-37-4 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[3-(trifluoromethyl)phenoxy]phenyl]-3-(hydroxymethyl)hexyl]-, dimethyl ester, hydrochloride (9CI) (CA INDEX NAME)

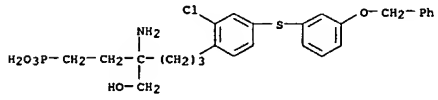


● HCl

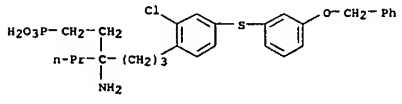
IT 749262-78-0P 749262-87-1P 749263-03-4P
749263-06-7P 749263-11-4P 749263-26-1P
749263-31-8P 749263-35-2P 749263-36-3P
749263-38-5P 749263-39-6P 749263-47-6P
749263-49-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminophosphonic acid derivs. as S1P receptor modulators)

RN 749262-78-0 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]hexyl]-, diethyl ester, hydrochloride (9CI) (CA INDEX NAME)

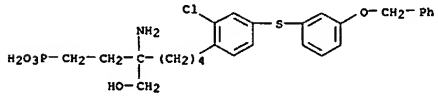
RN 749263-11-4 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-3-(hydroxymethyl)hexyl]- (9CI) (CA INDEX NAME)



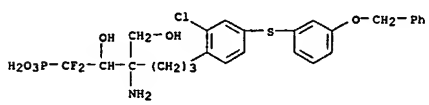
RN 749263-26-1 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-3-propylhexyl]- (9CI) (CA INDEX NAME)



RN 749263-31-8 CAPLUS
CN Phosphonic acid,
[3-amino-7-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-3-(hydroxymethyl)heptyl]- (9CI) (CA INDEX NAME)

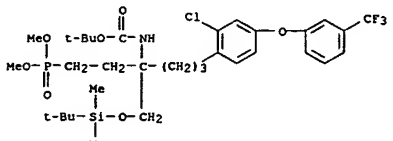


RN 749263-35-2 CAPLUS
CN Phosphonic acid,
[3-amino-6-[2-chloro-4-[[3-(phenylmethoxy)phenyl]thio]phenyl]-1,1-difluoro-2-hydroxy-3-(hydroxymethyl)hexyl]- (9CI) (CA INDEX NAME)

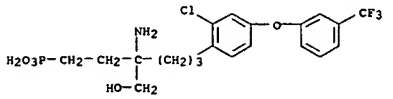


L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

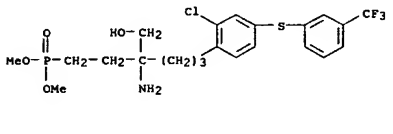
RN 749263-36-3 CAPLUS
CN Carbamic acid, [4-[2-chloro-4-[3-(trifluoromethyl)phenoxy]phenyl]-1-[2-(dimethoxyphosphinyl)ethyl]-1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 749263-38-5 CAPLUS
CN Phosphonic acid, [3-amino-6-[2-chloro-4-[3-(trifluoromethyl)phenoxy]phenyl]-3-(hydroxymethyl)hexyl]- (9CI) (CA INDEX NAME)



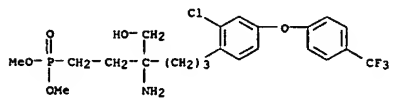
RN 749263-39-6 CAPLUS
CN Phosphonic acid, [3-amino-6-[2-chloro-4-[[3-(trifluoromethyl)phenyl]thio]phenyl]-3-(hydroxymethyl)hexyl]-, dimethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

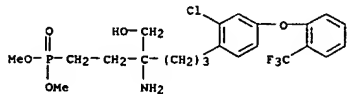
RN 749263-47-6 CAPLUS
CN Phosphonic acid, [3-amino-6-[2-chloro-4-(4-(trifluoromethyl)phenoxy]phenyl]-3-(hydroxymethyl)hexyl]-, dimethyl ester, hydrochloride (9CI) (CA INDEX

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
NAME)



● HCl

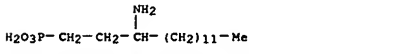
RN 749263-49-8 CAPLUS
CN Phosphonic acid, [3-amino-6-[2-chloro-4-[2-(trifluoromethyl)phenoxy]phenyl]-3-(hydroxymethyl)hexyl]-, dimethyl ester, hydrochloride (9CI) (CA INDEX NAME)



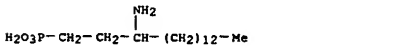
● HCl

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:465499 CAPLUS
DOCUMENT NUMBER: 141:133550
TITLE: The discovery of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists
AUTHOR(S): Hale, Jeffrey J.; Doherty, George; Toth, Leslie; Li, Zhen; Mills, Sander G.; Hajdu, Richard; Keohane, Carol
Ann; Rosenbach, Mark; Milligan, James; Shei, Gan-Ju; Chrebet, Gary; Bergstrom, James; Card, Deborah; Rosen,
Hugh; Mandala, Suzanne
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3495-3499
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:133550
AB 3-(N-Alkyl)aminopropylphosphonic acids are potent agonists of four of the five known sphingosine-1-phosphate (S1P) receptor subtypes and are useful in immunosuppressive therapy.
IT 596820-08-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)

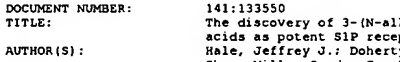


IT 597340-90-4 597340-97-1 597341-03-2
597341-12-3 725724-57-2
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 597340-90-4 CAPLUS
CN Phosphonic acid, (3-aminohexadecyl)- (9CI) (CA INDEX NAME)

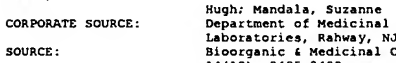


RN 597340-97-1 CAPLUS
CN Phosphonic acid, (3-aminoheptadecyl)- (9CI) (CA INDEX NAME)

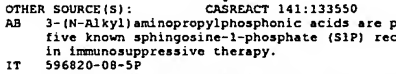
L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



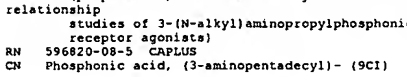
RN 597341-03-2 CAPLUS
CN Phosphonic acid, (3-aminooctadecyl)- (9CI) (CA INDEX NAME)



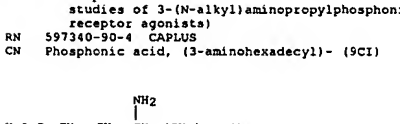
RN 597341-12-3 CAPLUS
CN Phosphonic acid, (3-aminoheneicosyl)- (9CI) (CA INDEX NAME)



RN 725724-57-2 CAPLUS
CN Phosphonic acid, (3-amino-13-phenyltridecyl)- (9CI) (CA INDEX NAME)



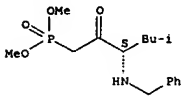
IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, immunomodulatory effect and structure-activity relationship
studies of 3-(N-alkyl)aminopropylphosphonic acids as potent S1P receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

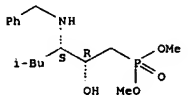
L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:197054 CAPLUS
DOCUMENT NUMBER: 141:7187
TITLE: Highly diastereoselective synthesis of anti-γ-N-benzylamino-β-hydroxyphosphonates
AUTHOR(S): Ordonez, Mario; De la Cruz-Cordero, Ricardo; Quinones, Citlali; Gonzalez-Morales, Angelina
CORPORATE SOURCE: Centro de Investigaciones Quimicas, Universidad Autonoma del Estado de Morelos, Cuernavaca, Mor, 62210, Mex.
SOURCE: Chemical Communications (Cambridge, United Kingdom) (2004), (6), 672-673
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:7187
AB The reduction of γ-N-benzylamino-β-ketophosphonates derived from readily available amino acids can be carried out stereoselectively with Zn(BH4)2 at -78 °C to produce anti-γ-amino-β-hydroxyphosphonates. For example, iBuCH(NHBn)C(O)CH2P(O)(OMe)2 (Bn = benzyl) was reduced with Zn(BH4)2 giving iBuCH(NHBn)CH(OH)CH2P(O)(OMe)2 (95% yield, anti:syn = 94:6).
IT 696620-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective preparation of (benzylamino)hydroxyphosphonates)
RN 696620-07-2 CAPLUS
CN Phosphonic acid, [(3S)-5-methyl-2-oxo-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 696620-49-2P 696620-83-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of (benzylamino)hydroxyphosphonates)
RN 696620-49-2 CAPLUS
CN Phosphonic acid, [(2R,3S)-2-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

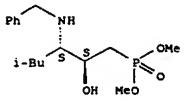
Absolute stereochemistry.



L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 696620-83-4 CAPLUS
CN Phosphonic acid, [(2S,3S)-2-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

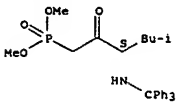
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:928812 CAPLUS
DOCUMENT NUMBER: 140:111099
TITLE: A practical diastereoselective synthesis of β-amino-α-hydroxy carboxylates
AUTHOR(S): Lee, Jae-Mok; Lim, Hyun-Suk; Seo, Kyung-Chang; Chung, Sung-Kee
CORPORATE SOURCE: Division of Molecular and Life Sciences, Department of Chemistry, Pohang University of Science and Technology, Pohang, 790-784, S. Korea
SOURCE: Tetrahedron: Asymmetry (2003), 14(23), 3639-3641
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:111099
AB Practical synthetic routes to β-amino-α-hydroxy carboxylates have been developed from amino acids. Reduction of β-amino-α-keto esters with NaBH4 was found to give anti-β-amino-α-hydroxy carboxylates in high de, which were efficiently converted to the corresponding syn-β-amino-α-hydroxy carboxylates via oxazolidine ring formation. Compds. prepared for this study included β-amino-α-oxobenzenepropanoic acid Me ester hydrochloride, β-amino-α-oxobenzenebutanoic acid Me ester hydrochloride, (3S)-3-amino-5-methyl-2-oxohexanoic acid Me ester hydrochloride and the corresponding N-trityl derivs. β-Amino-α-oxobenzenebutanoic acid Me ester hydrochloride was prepared in several steps, starting from L-phenylalanine. Reduction of this compound gave (αS,βS)-β-amino-α-hydroxybenzenebutanoic acid Me ester hydrochloride as the major enantiomer. Further benzoylation of this compound gave (-)-(αS,βS)-β-(benzoylamino)-α-hydroxybenzenebutanoic acid Me ester. This anti-isomer was converted to the corresponding syn-isomer, (αR,βS)-β-(benzoylamino)-α-hydroxybenzenebutanoic acid Me ester. The effects of a Felkin-Anh transition state and a chelation-controlled transition state on the stereochem. outcome of the reduction key step in this sequence were discussed.
IT 647852-06-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(practical diastereoselective synthesis of β-amino-α-hydroxy carboxylates)
RN 647852-06-0 CAPLUS
CN Phosphonic acid, [(3S)-5-methyl-2-oxo-3-[(triphenylmethyl)amino]hexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719274 CAPLUS
DOCUMENT NUMBER: 139:246116
TITLE: Preparation of aminoalkylphosphonates and related compounds as EDG receptor agonists
INVENTOR(S): Doherty, George A.; Hale, Jeffrey J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074008	A2	20030912	WO 2003-US7262	20030225
WO 2003074008	A3	20040226		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477449	AA	20030912	CA 2003-2477449	20030225
AU 2003218056	A1	20030916	AU 2003-218056	20030225
EP 1482896	A2	20041208	EP 2003-714037	20030225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005107345	A1	20050519	US 2003-505268	20030225
JP 2005531508	T2	20051020	JP 2003-572530	20030225
PRIORITY APPLN. INFO.:			US 2002-360605P	P 20020301
			WO 2003-US7262	W 20030225

OTHER SOURCE(S): MARPAT 139:246116
AB The present invention encompasses title compds., A-X[CR1R2]mCHNH2[CR3R4]pC(R9)3 (m = 1-4; p = 9-20; X = bond, O, NH, S(O)k, k = 0-2; A = CO2H, PO3H2, PO2H2, SO3H, five membered nitrogen containing heterocyclyl, etc.; two R1 or R3 groups on adjacent carbon may be joined together to form a double bond; R2, R3, R4 = H, halo, OH, CO2H, C1-4 alkyl, alkoxy, alkylthio, aryl, etc.; R1-R4 = residing on the same carbon optionally joined together to form a carbonyl group, etc.; R9 = H, halo, OH, C1-4 alkoxy, alkylthio, C3-7 cycloalkyl, etc.); as well as the pharmaceutically acceptable salts and hydrates thereof. The compds. are useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection. Pharmaceutical compns. and methods of use are included. Thus, preparation of (+/-)-2-amino-4-(4-(octylphenyl))butanol, O-phosphate was described in five steps starting from di-Et 2-acetamido-2-(2-(4-octylphenyl)ethyl)propanedioate.
IT 596820-08-5P
RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
agonists)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)

IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:719253 CAPLUS
DOCUMENT NUMBER: 139:245479
TITLE: Preparation of aminoalkylphosphonates and related compounds as EDG receptor agonists
INVENTOR(S): Budhu, Richard J.; Doherty, George A.; Hale, Jeffrey J.; Lynch, Christopher L.; Mills, Sander G.; Neway, William E., III
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003073986	A2	20030912	WO 2003-US5947	20030227
WO 2003073986	A3	20040527		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477423	AA	20030912	CA 2003-2477423	20030227
AU 2003217764	A1	20030916	AU 2003-217764	20030227
EP 1482895	A2	20041208	EP 2003-713727	20030227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531506	T2	20051020	JP 2003-572508	20030227
US 2006089334	A1	20060427	US 2004-505257	20040819
PRIORITY APPLN. INFO.:			US 2002-360663P	P 20020301
			WO 2003-US5947	W 20030227

OTHER SOURCE(S): MARPAT 139:245479
AB AX(CR1R2)mCH(NH2)(CR3R4)nArBC [A = CO2H, P(O)(OH)2, PH(O)(OH),SO3H, P(O)R5OH, 5-membered N heterocycle; X = bond, O, NH, S, S, S(O), SO2; R1-R4 = H, halogen, OH, CO2H, (un)substituted alkyl, alkoxy, alkylthio, aryl; R1R2, R3R4 = O; m = 1-4; n = 0-4; R5 = (un)substituted alkyl, aryl; Ar = Ph, naphthyl; C = (un)substituted alkyl, alkoxy, acyl, hydroxyalkyl, Ph, heterocyclic, bond; when C = bond, B = (un)substituted Ph, alkyl, alkenyl, alkynyl, OH, SH, acyl, CONH2, NH2; when C = Ph, heterocyclic, B = (un)substituted alkyl, alkoxy, acyl, CO, CH(OH), C6H4, heterocyclic; when C = alkyl, alkoxy, acyl, B = (un)substituted C6H4, heterocyclic] were prepared for use as EDG receptor antagonists useful for treating immune mediated diseases and conditions, such as bone marrow, organ and tissue transplant rejection (no data). Thus, 4-Me(CH2)7C6H4CH2CH2C(NHAc)(CO2Et)2 was hydrolyzed and decarboxylated to 4-Me(CH2)7C6H4CH2CH2CH(NH2)CO2H which was N-benzyloxycarbonylated, reduced to 4-Me(CH2)7C6H4CH2CH2CH(NHCbz)CH2OH , phosphorylated (MeCH)2NP(OCH2Ph)2, and deblocked to give

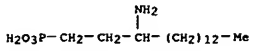
L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
4-Me(CH2)7C6H4CH2CH2CH(NH2)CH2OP(O)(OH)2.
IT 596820-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-55-2 CAPLUS
CN Carbamic acid, [1-[2-(diethoxyphosphinyl)ethyl]tridecyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

IT 596820-08-5P 597340-83-5P 597340-85-7P
597340-90-4P 597340-97-1P 597341-03-2P
597341-07-6P 597341-12-3P 597341-24-7P
597343-01-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylphosphonates and related compds. as EDG receptor agonists)
RN 596820-08-5 CAPLUS
CN Phosphonic acid, (3-aminopentadecyl)- (9CI) (CA INDEX NAME)

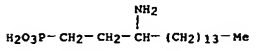
RN 597340-83-5 CAPLUS
CN Phosphonic acid, (3-aminotridecyl)- (9CI) (CA INDEX NAME)

RN 597340-85-7 CAPLUS
CN Phosphonic acid, (3-aminotetradecyl)- (9CI) (CA INDEX NAME)

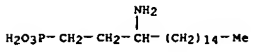
RN 597340-90-4 CAPLUS
CN Phosphonic acid, (3-aminohexadecyl)- (9CI) (CA INDEX NAME)



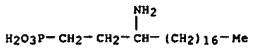
RN 597340-97-1 CAPLUS
CN Phosphonic acid, (3-aminoheptadecyl)- (9CI) (CA INDEX NAME)



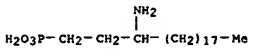
RN 597341-03-2 CAPLUS
CN Phosphonic acid, (3-aminooctadecyl)- (9CI) (CA INDEX NAME)



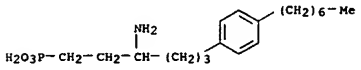
RN 597341-07-6 CAPLUS
CN Phosphonic acid, (3-aminoeicosyl)- (9CI) (CA INDEX NAME)



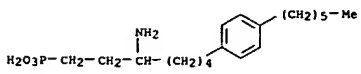
RN 597341-12-3 CAPLUS
CN Phosphonic acid, (3-aminoheneicosyl)- (9CI) (CA INDEX NAME)



RN 597341-24-7 CAPLUS
CN Phosphonic acid, [3-amino-6-(4-heptylphenyl)hexyl]- (9CI) (CA INDEX NAME)



RN 597343-01-6 CAPLUS
CN Phosphonic acid, [3-amino-7-(4-hexylphenyl)heptyl]- (9CI) (CA INDEX NAME)

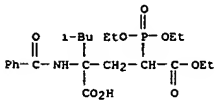


ACCESSION NUMBER: 2003:509546 CAPLUS
DOCUMENT NUMBER: 140:217969
TITLE: Synthesis of a novel class of α,α-disubstituted amino acids: α-alkyl-γ-phosphonoglutamic acids
AUTHOR(S): Olczak, Jacek; Olma, Anna; Owczarz, Malgorzata; Krawczyk, Henryk; Zabrocki, Janusz
CORPORATE SOURCE: Institute of Organic Chemistry, Technical University of Lodz, Lodz, 90-924, Pol.
SOURCE: Peptides 2000, Proceedings of the European Peptide Symposium, 26th, Montpellier, France, Sept. 10-15, 2000 (2001), Meeting Date 2000, 379-380. Editor(s): Martinez, Jean; Fehrentz, Jean-Alain. Editions EDK: Paris, Fr.
CODEN: 69EDWK; ISBN: 2-84254-048-4
DOCUMENT TYPE: Conference
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:217969

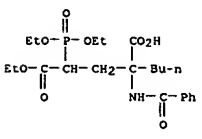
AB A symposium report. A novel class of glutamic acid analogs containing both α-substituent and α-phosphono functionality was synthesized via alkylation of α-amino acid derived 2-phenyl-4-alkyl-5(4H)-oxazolones by tri-Et 2-phosphonoacrylate. Subsequent ring opening in acidic conditions releases the α-carboxylic group and allows for all remaining functionalities to be still protected. The phosphono group could be selectively deprotected by means of trimethylsilyl bromide without affecting other functional groups.

IT 663943-17-7P 663943-19-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of α-alkyl-γ-phosphonoglutamic acids)

RN 663943-17-7 CAPLUS
CN Glutamic acid, N-benzoyl-4-(diethoxyphosphinyl)-2-(2-methylpropyl)-, 5-ethyl ester (9CI) (CA INDEX NAME)

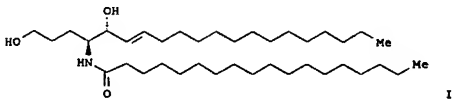


RN 663943-19-9 CAPLUS
CN Glutamic acid, N-benzoyl-2-butyl-4-(diethoxyphosphinyl)-, 5-ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:509896 CAPLUS
DOCUMENT NUMBER: 137:310714
TITLE: Synthesis of novel and non-natural ceramide analogues derived from l-glutamic acid
AUTHOR(S): Shikata, Keiji; Azuma, Hideki; Tachibana, Taro; Ogino, Kenji
CORPORATE SOURCE: Graduate School of Engineering, Department of Bioapplied Chemistry, Osaka City University, Sumiyoshi-ku, Osaka, 558-8585, Japan
SOURCE: Tetrahedron (2002), 58(29), 5803-5809
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:310714
GI



I

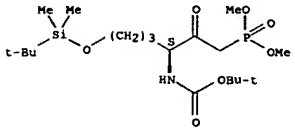
AB Novel and non-natural ceramide analogs, such as I, having a different methylene spacer between the primary hydroxymethyl group and aminomethane of sphingosine backbone, have been prepared from l-glutamic acid. The key step in the preparation is the diastereoselective reduction of an enone adjacent to a Boc protected amino group by reducing agents.

IT 471282-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of non-natural ceramide analogs from L-glutamic acid utilizing diastereoselective reduction of an enone adjacent to a Boc protected amino group as a key step)

RN 471282-37-8 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:833023 CAPLUS
DOCUMENT NUMBER: 135:376738
TITLE: Compounds and methods for modulating cerebral amyloid angiopathy using inhibitors of an amyloid β peptide
INVENTOR(S): Green, Allan M.; Gervais, Francine
PATENT ASSIGNEE(S): Neurochem, Inc., Can.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

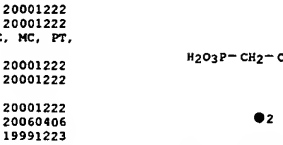
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001085093	A2	20011115	WO 2000-IB2078	20001222
WO 2001085093	A3	20020829		
WO 2001085093	C2	20020926		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2395314	AA	20011115	CA 2000-2395314	20001222
AU 2001084313	A5	20011120	AU 2001-84313	20001222
EP 1251837	A2	20021030	EP 2000-993855	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000016652	A	20021119	BR 2000-16652	20001222
US 2003003141	A1	20030102	US 2000-747408	20001222
US 6670399	B2	20031230		
JP 2003532656	T2	20031105	JP 2001-581748	20001222
AU 2006201445	A1	20060504	AU 2006-201445	20060406
PRIORITY APPLN. INFO.:			US 1999-171877P	P 19991223
			AU 2001-84313	A3 20001222
			WO 2000-IB2078	W 20001222

OTHER SOURCE(S): MARPAT 135:376738

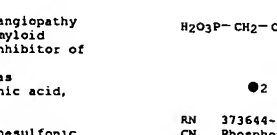
AB The invention provides methods of inhibiting cerebral amyloid angiopathy (CAA) and treating a disease state characterized by cerebral amyloid angiopathy, e.g., Alzheimer's disease, in a subject using an inhibitor of the 39-40 amino acid amyloid β peptide (Aβ40). The Aβ40 inhibitor is selected from, e.g., sulfonic acid derivs., such as ethanesulfonic acid, 1,2-ethanedisulfonic acid, 1-propanesulfonic acid, 1,3-propanedisulfonic acid, 1,4-butanedisulfonic acid, 1,5-pentanedisulfonic acid, 2-aminoethanesulfonic acid, 4-hydroxy-1-butanesulfonic acid, 1-butanesulfonic acid, 1-decanesulfonic acid, 2-propanesulfonic acid, 3-pentanesulfonic acid, 4-heptanesulfonic acid, etc., and pharmaceutically acceptable salts thereof or from from phosphonic acid derivs., such as diethylphosphonoacetic acid, phenylphosphonic acid, 3-aminopropylphosphonic acid, propylphosphonic acid, etc. The compds. are formulated in a dispersion system, a liposome formulation, or microspheres using a polymeric matrix. The polymeric matrix is selected from natural polymers, such as albumin, alginate, cellulose derivs., collagen, fibrin, gelatin, and polysaccharides, or synthetic polymers such as polyesters, polyethylene glycol, poloxamers, and polyanhydrides. For example, the ability of compds. of the invention to inhibit CAA was measured in 9 wk old hAPP transgenic mice treated with two different concns. of a compd. of the present invention, 3-amino-1-propanesulfonic acid sodium salt, 100 and 30 mg/kg. Mice were administered the compd. for 8 wk, after which they were sacrificed and their brains were perfused and processed for histol. staining with Thioflavin S. This method may also be used as a screening method for detg. activity of a candidate compd. for inhibiting CAA. The extent of CAA in brain sections obtained from these animals was qual. detd. following staining. The results indicate that the test compd. was effective in (i) reducing the no. of mice showing CAA, and (ii) showing an effect on the severity of the deposition seen in the brain vasculature of these animals.

IT 373644-71-4 373644-72-5 373644-73-6
373645-14-8 373645-15-9 373645-16-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)
(inhibitors of amyloid β peptide for modulating cerebral amyloid angiopathy)

RN 373644-71-4 CAPLUS
CN Phosphonic acid, (3-aminohexyl)-, disodium salt (9CI) (CA INDEX NAME)

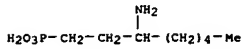


RN 373644-72-5 CAPLUS
CN Phosphonic acid, (3-aminoheptyl)-, disodium salt (9CI) (CA INDEX NAME)



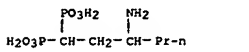
RN 373644-73-6 CAPLUS
CN Phosphonic acid, (3-aminooctyl)-, disodium salt (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



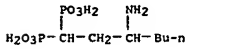
●2 Na

RN 373645-14-8 CAPLUS
CN Phosphonic acid, (3-aminohexylidene)bis-, tetrasodium salt (9CI) (CA INDEX NAME)



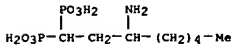
●4 Na

RN 373645-15-9 CAPLUS
CN Phosphonic acid, (3-aminoheptylidene)bis-, tetrasodium salt (9CI) (CA INDEX NAME)



●4 Na

RN 373645-16-0 CAPLUS
CN Phosphonic acid, (3-aminooctylidene)bis-, tetrasodium salt (9CI) (CA INDEX NAME)

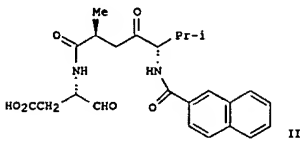


●4 Na

L4 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:34579 CAPLUS
DOCUMENT NUMBER: 130:139654
TITLE: Preparation of peptide analogs as cysteine protease inhibitors
INVENTOR(S): Kamata, Makoto; Watanabe, Hiroyuki; Fukuda, Tsunehiko; Yamada, Takao
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11001491	A2	19990106	JP 1997-247151	19970911
PRIORITY APPLN. INFO.:			JP 1997-101109 A	19970418

OTHER SOURCE(S): MARPAT 130:139654
GI



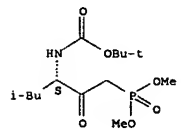
II

AB The title compds. represented by formula R1NHCHR2-R3-R4-CONH-CH(Z)(CH2)nCO2H [I; R1 = H, acyl; R2 = H, (un)substituted lower alkyl; R3 = CO, CH2 optionally substituted by (un)protected hydroxy; R4 = ethylene or vinylene optionally substituted by (un)substituted lower alkyl; Z = acyl, (un)substituted hydrocarbon group; n = 1,2] are prepared Claimed is a pharmaceutical composition, in particular a cysteine protease inhibitor, an interleukin-1β-converting enzyme (ICE) inhibitor, or a drug for the treatment and/or prophylaxis of bone diseases and septicemia shock containing the peptide analog I. These compds. are useful for the treatment and/or prophylaxis of various infections, immune diseases, bone diseases, nerve diseases, tumors, and inflammations. Thus, 4-(tert-butyldimethylsilyloxy)-2,6-dimethyl-5-(2-naphthoylamino)heptanoic acid was condensed with tert-Bu (3S)-4,4-dimethoxy-3-aminobutanoate using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in DMF at 25° for 15 h to give tert-Bu (3S)-3-[[4-(tert-butyldimethylsilyloxy)-2,6-dimethyl-5-(2-naphthoylamino)heptanoyl]amino]-4,4-dimethoxybutanoate, which was treated with Bu4NF in THF to give the alc., i.e. tert-Bu (3S)-3-[[4-hydroxy-2,6-dimethyl-5-(2-naphthoylamino)heptanoyl]amino]-4,4-dimethoxybutanoate, followed by oxidn. with pyridinium dichromate in DMF to the ketone, i.e. tert-Bu (3S)-3-[[4-oxo-2,6-dimethyl-5-(2-naphthoylamino)heptanoyl]amino]-4,4-dimethoxybutanoate, and treatment with aq. CF3CO2H to give the title compd. [(2R,5S)-II] and its (2S,5S)-II stereoisomer. (2R,5S)-II in vitro showed IC50 of 5.6+10-8 M against recombinant ICE.

IT 108860-01-1P 219990-80-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide analogs as cysteine protease and interleukin-1β-converting enzyme inhibitors for treatment/prophylaxis of diseases)

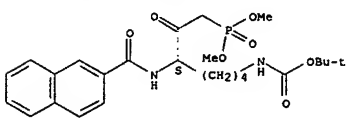
RN 108860-01-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-3-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 219990-80-4 CAPLUS
CN Carbamic acid, [(5S)-7-(dimethoxyphosphinyl)-5-[(2-naphthalenylcarbonyl)amino]-6-oxoheptyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:186482 CAPLUS
DOCUMENT NUMBER: 128:240717
TITLE: Preparation of herbicidal azabisphosphonic acids
INVENTOR(S): Fisher, Karl J.; Woolard, Frank X.; Leadbetter, Michael R.; Gerdes, John M.
PATENT ASSIGNEE(S): Zeneca Ltd., UK
SOURCE: U.S., 43 pp., Cont.-in-part of U.S. Ser. No. 133,722, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

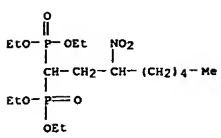
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5728650	A	19980317	US 1995-418970	19950407
TW 401276	B	20000811	TW 1994-83109255	19941005
ZA 9407814	A	19950814	ZA 1994-7814	19941006
IL 111180	A1	19990922	IL 1994-111180	19941006
CA 2173607	AA	19950420	CA 1994-2173607	19941007
CN 1134657	A	19961030	CN 1994-194096	19941007
HU 74893	A2	19970228	HU 1996-839	19941007
CA 2217655	AA	19961010	CA 1996-2217655	19960408
WO 9631124	A1	19961010	WO 1996-US4869	19960408
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9654475	A1	19961023	AU 1996-54475	19960408
EP 820230	A1	19980128	EP 1996-911660	19960408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1181690	A	19980513	CN 1996-193132	19960408
BR 9604975	A	19980609	BR 1996-4975	19960408
JP 11503429	T2	19990326	JP 1996-530540	19960408
NO 9704619	A	19971006	NO 1997-4619	19971006
PRIORITY APPLN. INFO.:			US 1993-133722 B2	19931007
			US 1995-418970 A	19950407
			WO 1996-US4869 W	19960408

OTHER SOURCE(S): MARPAT 128:240717
AB The azabisphosphonic acids R6R7NCR4R5(CR2R3)nCR1(PO3H2)2 [n = 0, 1-6; R1 = H, OH, alkyl, alkoxy, halo, etc.; R2-5 H, (un)substituted hydrocarbyl, etc.; R6, R7 = R2, (un)substituted pyridyl or (un)substituted amino; R6R7N, R4R6CN or R2R6CN = (un)substituted N-containing heterocyclyl; R2R4C and R4R5C = (un)substituted carbocyclyl] and their salts or hydrolyzable esters are prepared as postemergence herbicides.

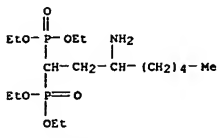
IT 183447-88-3P 183447-90-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of herbicidal azabisphosphonic acids)

RN 183447-88-3 CAPLUS
CN Phosphonic acid, (3-nitrooctylidene)bis-, tetraethyl ester (9CI) (CA

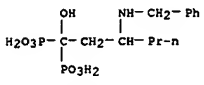
INDEX NAME)



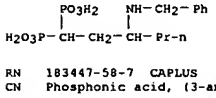
RN 183447-90-7 CAPLUS
CN Phosphonic acid, (3-aminooctylidene)bis-, tetraethyl ester (9CI) (CA INDEX NAME)



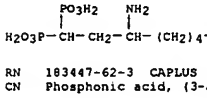
IT 183447-08-7P 183447-10-1P 183447-12-3P
183447-13-4P 183447-14-5P 183447-57-6P
183447-58-7P 183447-62-3P 183447-66-7P
183447-68-9P 183447-69-0P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)
RN 183447-08-7 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)



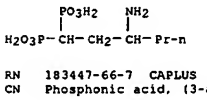
RN 183447-10-1 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]hexylidene]bis- (9CI) (CA INDEX NAME)



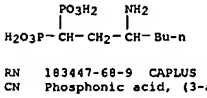
RN 183447-58-7 CAPLUS
CN Phosphonic acid, (3-aminooctylidene)bis- (9CI) (CA INDEX NAME)



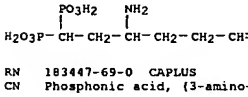
RN 183447-62-3 CAPLUS
CN Phosphonic acid, (3-aminohexylidene)bis- (9CI) (CA INDEX NAME)



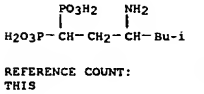
RN 183447-66-7 CAPLUS
CN Phosphonic acid, (3-aminoheptylidene)bis- (9CI) (CA INDEX NAME)



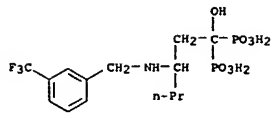
RN 183447-68-9 CAPLUS
CN Phosphonic acid, (3-amino-6-heptenylidene)bis- (9CI) (CA INDEX NAME)



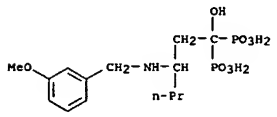
RN 183447-69-0 CAPLUS
CN Phosphonic acid, (3-amino-5-methylhexylidene)bis- (9CI) (CA INDEX NAME)



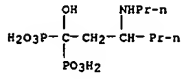
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT



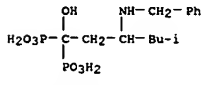
RN 183447-12-3 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]hexylidene]bis- (9CI) (CA INDEX NAME)



RN 183447-13-4 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-(propylamino)hexylidene]bis- (9CI) (CA INDEX NAME)



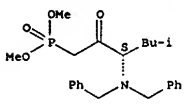
RN 183447-14-5 CAPLUS
CN Phosphonic acid, [1-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)



RN 183447-57-6 CAPLUS
CN Phosphonic acid, [3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)

ACCESSION NUMBER: 1997:686376 CAPLUS
DOCUMENT NUMBER: 127:358661
TITLE: Stereoselective synthesis of β-amino alcohols: diastereoselective reduction of chiral α'-amino enones derived from amino acids
AUTHOR(S): Chung, Sung-Kee; Kang, Dong-Ho
CORPORATE SOURCE: Department of Chemistry, Pohang University of Science and Technology, Pohang, 790-784, S. Korea
SOURCE: Tetrahedron: Asymmetry (1997), 8(18), 3027-3030
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:358661
AB α-Amino acids are doubly benzylated at nitrogen to give N,N-dibenzyl amino acids, which can readily be converted to α'-amino enones. The α'-amino enones are very resistant to racemization, and undergo highly diastereoselective reduction to afford chiral amino alcs. upon treatment with L-Selectride under non-chelation control.
IT 198635-24-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β-amino alcs. from γ-amino-β-ketophosphonate intermediates)
RN 198635-24-4 CAPLUS
CN Phosphonic acid, [(3S)-3-[bis(phenylmethyl)amino]-5-methyl-2-oxohexyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:705780 CAPLUS
DOCUMENT NUMBER: 125:320562
TITLE: Preparation of herbicidal bisphosphonic acids
INVENTOR(S): Fisher, Karl J.; Woolard, Frank X.; Leadbetter, Michael R.; Gerdes, John M.
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9631124	A1	19961010	WO 1996-US4869	19960408
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 5728650	A	19980317	US 1995-418970	19950407
AU 9654475	A1	19961023	AU 1996-54475	19960408
EP 820230	A1	19980128	EP 1996-911660	19960408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9604975	A	19980609	BR 1996-4975	19960408
JP 11503429	T2	19990326	JP 1996-530540	19960408
NO 9704619	A	19971006	NO 1997-4619	19971006
PRIORITY APPLN. INFO.:			US 1995-418970 A	19950407
			US 1993-133722 B2	19931007
			WO 1996-US4869 W	19960408

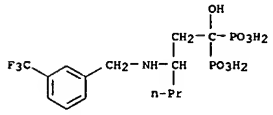
OTHER SOURCE(S): MARPAT 125:320562

AB The bisphosphonic acids R6R7NCR4R5(CR2R3)nCR1(PO3H2)2 [n = 1-6; R1 = H, OH, alkoxy, halo, etc.; R2-5 = H = (un)substituted hydrocarbyl, etc.; R6,R7 = H, (un)substituted hydrocarbyl, (un)substituted pyridyl, (un)substituted amine, etc.; R6NR7 = piperazine, aziridine, morpholine, etc.] or their salts or hydrolyzable esters are prepared as herbicides. The herbicidal compns. exhibit efficacy when applied to plants post-emergence, but not pre-emergence.

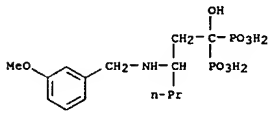
IT 183447-88-3P 183447-90-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in preparation of herbicidal bisphosphonic acid)

RN 183447-88-3 CAPLUS
CN Phosphonic acid, (3-nitrooctylidene)bis-, tetraethyl ester (9CI) (CA INDEX NAME)

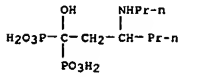
L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



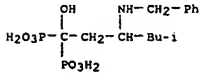
RN 183447-12-3 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[[(3-methoxyphenyl)methyl]amino]hexylidene]bis- (9CI) (CA INDEX NAME)



RN 183447-13-4 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-(propylamino)hexylidene]bis- (9CI) (CA INDEX NAME)

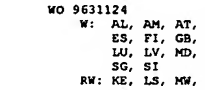


RN 183447-14-5 CAPLUS
CN Phosphonic acid, [1-hydroxy-5-methyl-3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)



RN 183447-57-6 CAPLUS
CN Phosphonic acid, [3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)

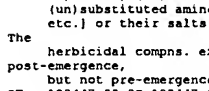
L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 183447-90-7 CAPLUS
CN Phosphonic acid, (3-aminooctylidene)bis-, tetraethyl ester (9CI) (CA INDEX NAME)

IT 183447-08-7P 183447-10-1P 183447-12-3P
183447-13-4P 183447-14-5P 183447-57-6P
183447-58-7P 183447-62-3P 183447-66-7P
183447-68-9P 183447-69-0P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as herbicide)

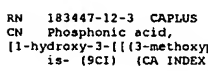
RN 183447-08-7 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[(phenylmethyl)amino]hexylidene]bis- (9CI) (CA INDEX NAME)



RN 183447-10-1 CAPLUS
CN Phosphonic acid, [1-hydroxy-3-[[[3-(trifluoromethyl)phenyl]methyl]amino]hexylidene]bis- (9CI) (CA INDEX NAME)

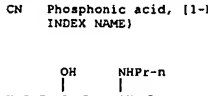
L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 183447-58-7 CAPLUS
CN Phosphonic acid, (3-aminooctylidene)bis- (9CI) (CA INDEX NAME)

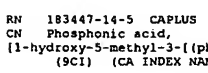


RN 183447-62-3 CAPLUS
CN Phosphonic acid, (3-aminohexylidene)bis- (9CI) (CA INDEX NAME)

RN 183447-66-7 CAPLUS
CN Phosphonic acid, (3-aminoheptylidene)bis- (9CI) (CA INDEX NAME)



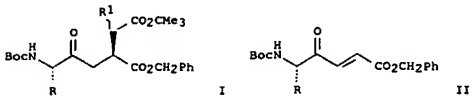
RN 183447-68-9 CAPLUS
CN Phosphonic acid, (3-amino-6-heptenylidene)bis- (9CI) (CA INDEX NAME)



RN 183447-69-0 CAPLUS
CN Phosphonic acid, (3-amino-5-methylhexylidene)bis- (9CI) (CA INDEX NAME)

L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:191998 CAPLUS
DOCUMENT NUMBER: 124:344050
TITLE: A Practical and Diastereoselective Synthesis of Ketomethylene Dipeptide Isosteres of the Type AAψ[COCH2]Asp
AUTHOR(S): Deziel, Robert; Plante, Raymond; Caron, Valerie; Grenier, Louis; Llinas-Brunet, Montse; Duceppe, Jean-Simon; Malenfant, Eric; Moss, Neil
CORPORATE SOURCE: Bio-Mega/Boehringer Ingelheim Research Inc., Laval, QC, H7S 2G5, Can.
SOURCE: Journal of Organic Chemistry (1996), 61(8), 2901-3
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:344050
GI



I II

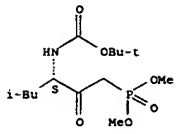
AB A simple and stereoselective synthesis of title ketomethylene dipeptide isosteres I (R = CMe3, CHMe2, CH2CHMe2, CH2Ph, Me, R1 = H) has been developed. The key features of this new synthesis lies in the 1,4 stereoselective addition of tert-Bu allyl malonate to the Michael acceptors II which yield the suitably protected malonate adducts I (R1 = CO2CH2CH:CH2) in high yields. Deallylation followed by decarboxylation of the malonate derivs. gave the desired dipeptide isosteres I (R1 = H) in very good yields.

IT 108860-01-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(a practical and diastereoselective synthesis of aspartate ketomethylene dipeptide isosteres)

RN 108860-01-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-3-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

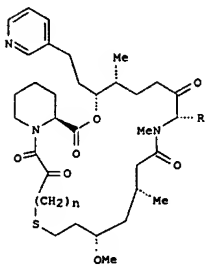
Absolute stereochemistry.

L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:887234 CAPLUS
DOCUMENT NUMBER: 124:29474
TITLE: Synthesis of FK506-cyclosporin hybrid macrocycles
AUTHOR(S): Teague, Simon J.; Cooper, Martin E.; Stocks, Michael J.
CORPORATE SOURCE: Fisons plc, Pharmaceuticals Division, Loughborough, LE11 ORH, UK
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(20), 2341-6
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

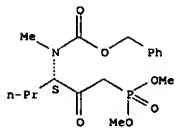
AB An attempt was made to synthesize calcineurin inhibitors using dual domain macrocyclic compds. that incorporated a FKBP12 binding domain together with a calcineurin recognition domain which had been designed by consideration of the relevant features of both FK506 and Cyclosporin A. The macrocycles I [n = 1, 2] were prepared I bound FKBP12 with moderate affinity, but showed no affinity for calcineurin.

IT 171522-00-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of FK506-cyclosporin hybrid macrocycles)

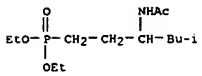
RN 171522-00-2 CAPLUS
CN Carbamic acid, [1-[(dimethoxyphosphinyl)acetyl]butyl]methyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

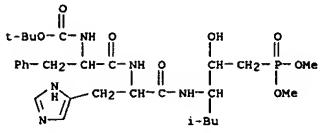
L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:472694 CAPLUS
DOCUMENT NUMBER: 119:72694
TITLE: Synthesis of (3-amino-1-alkenyl)phosphonic acids from allylic α- and γ-hydroxyphosphonates. Sigmatropic rearrangement of dialkyl (1-azido-2-alkenyl)phosphonates
AUTHOR(S): Oehler, Elisabeth; Kotzinger, Silvia
CORPORATE SOURCE: Inst. Org. Chem., Univ. Wien, Vienna, A-1090, Austria
SOURCE: Liebigs Annalen der Chemie (1993), (3), 269-80
CODEN: LACHDL; ISSN: 0170-2041
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 119:72694
AB (3-Azido-1-alkenyl)phosphonates (RO)2P(O)CR1:CHCHN3R2 [8; R = Me, Me2CH, Et; R1 = H, Me; R2 = H, Me, Ph, Me2CH, Me2CHCH2; R1R2 = (CH2)2, (CH2)3] with R1 = H are prepared regioselectively by reaction of secondary (1-hydroxy-2-alkenyl)phosphonates with triphenylphosphine/DEAD/HN3 and subsequent thermal 1,3-rearrangement of the allylic α-azidophosphonates primarily formed. (3-Azido-1-alkenyl)phosphonates 8 with R1 = H are conveniently obtained from the regioisomeric tertiary 3-hydroxy derivs., which can be prepared either by allylic rearrangement of the corresponding α-hydroxyphosphonates, or by NaBH4 reduction of the corresponding (3-oxo-1-alkenyl) derivs. The synthetic utility of the azido compds. 8 is demonstrated by their conversion into (3-amino-1-alkenyl)phosphonic acids, N,O-protected derivs., and saturated γ-aminophosphonates.
IT 148826-70-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 148826-70-4 CAPLUS
CN Phosphonic acid, [3-(acetylamino)-5-methylhexyl]-, diethyl ester (9CI) (CA INDEX NAME)

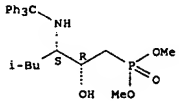


L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(CA INDEX NAME)



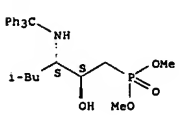
IT 123381-25-9P 123381-26-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 123381-25-9 CAPLUS
CN Phosphonic acid, [2-hydroxy-5-methyl-3-[(triphenylmethyl)amino]hexyl]-, dimethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

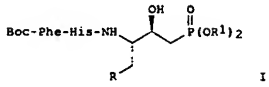


RN 123381-26-0 CAPLUS
CN Phosphonic acid, [2-hydroxy-5-methyl-3-[(triphenylmethyl)amino]hexyl]-, dimethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



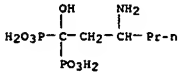
L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:56674 CAPLUS
DOCUMENT NUMBER: 112:56674
TITLE: New inhibitors of renin that contain novel phosphostatine Leu-Val replacements
AUTHOR(S): Dellaria, Joseph F., Jr.; Maki, Robert G.; Stein, Herman H.; Cohen, Jerome; Whittern, David; Marsh, Kennan; Hoffman, Daniel J.; Plattner, Jacob J.; Perun, Thomas J.
CORPORATE SOURCE: Pharm. Prod. Div., Abbott Lab., Abbott Park, IL, 60064, USA
SOURCE: Journal of Medicinal Chemistry (1990), 33(2), 534-42
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:56674
GI



I

AB A novel series of renin inhibitors, e.g. I (Boc = Me3CO2C; R = Ph, cyclohexyl; R1 = Me, Et, etc.), based on the Phe8-His9-Leu10-Val11 substructure of renin's natural substrate, angiotensinogen, are reported. These inhibitors retain the Phe8-His9 portion of the native substructure and employ novel phosphostatine Leu10-Val11 replacements (LVRs). The phosphostatine LVRs were prepared by condensing a dialkyl phosphonate ester-stabilized anion with either N-Boc amino aldehydes or N-trityl amino aldehydes (derived from the corresponding amino acid). Structure-activity relationships at the Leu10 side chain revealed that the LVR derived from L-cyclohexylalanaine provided at 130-fold boost in potency over the LVR derived from L-leucine. The dialkyl ester moiety was varied and a loss in potency was incurred when the alkyl ester was chain extended or α-branched; di-Me esters provided optimum potency. The phosphonate moiety was replaced by a half-acid half-ester phosphonate and dimethylphosphinate; both replacements lead to a loss in potency. The more potent inhibitors (IC50 = 20-50 nM) were selective inhibitors for renin over porcine pepsin and bovine cathepsin D (little or no inhibition was observed at 10-5 M).
IT 123381-36-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and renin-inhibiting activity of)
RN 123381-36-2 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-[2-(dimethoxyphosphinyl)-1-hydroxyethyl]-3-methylbutyl]-, [R-(R*,S*)]- (9CI)

L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:508823 CAPLUS
DOCUMENT NUMBER: 111:108823
TITLE: Inhibition of osteoclast-like cell formation by bisphosphonates in long-term cultures of human bone marrow
AUTHOR(S): Hughes, D. E.; MacDonald, B. R.; Russell, R. G. G.; Gowen, M.
CORPORATE SOURCE: Med. Sch., Univ. Sheffield, Sheffield, S10 2RX, UK
SOURCE: Journal of Clinical Investigation (1989), 83(6), 1930-5
CODEN: JCINAO; ISSN: 0021-9738
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Bisphosphonates inhibit bone resorption in vivo and in vitro by unknown mechanisms. The effect of bisphosphonates on the formation of osteoclasts from their mononuclear hematopoietic precursors was investigated using human long-term marrow cultures in which multinucleated cells express most of the known features of the osteoclast phenotype (bone resorption, tartrate-resistant acid phosphatase, calcitonin responsiveness, and reactivity with specific monoclonal antibodies. The five bisphosphonates that were tested strongly inhibited 1,25-dihydroxyvitamin D3-stimulated formation of these cells with the same relative potencies as they inhibit bone resorption in vivo. Two representative compds. (3-amino-1-hydroxypropylidene-1,1-bisphosphonate and dichloromethylene bisphosphonate) failed to inhibit the proliferation of precursors of the osteoclast-like cells. These compds. decreased the proportion of mononuclear and multinucleated cells expressing an osteoclast antigen, thus suggesting a degree of specificity for cells of the osteoclast lineage. Thus, bisphosphonates are potent inhibitors of osteoclast-like cell formation in long-term human marrow cultures. This may be related to their ability to inhibit bone resorption in vivo.
IT 122344-43-8
RL: BIOL (Biological study)
(osteoclast formation inhibition by, bone resorption in relation to)
RN 122344-43-8 CAPLUS
CN Phosphonic acid, (3-amino-1-hydroxyhexylidene)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

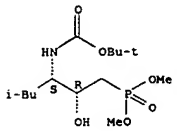
ACCESSION NUMBER: 1987:439152 CAPLUS
DOCUMENT NUMBER: 107:39152
TITLE: Synthesis and reduction of α-amino ketones derived from leucine
AUTHOR(S): Dufour, Marie Noelle; Jouin, Patrick; Poncet, Joel; Pantaloni, Antoine; Castro, Bertrand
CORPORATE SOURCE: Cent. CNRS-INSERM Pharmacol.-Endocrinol., Montpellier, 34094, Fr.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1986), (11), 1895-9
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 107:39152

AB New α-amino ketones Me2CHCH2CH(NHCO2R1)COR2 (R1 = CMe3, CH2Ph; R2 = CH2CH2CHMe2, CH2OCHMe2, etc.) derived from leucine have been synthesized by reaction of organometallics with a protected N-methoxy-N-methylamide. The ketones were reduced to the resp. α-amino alcs., and the latter were converted to 2-oxazolidinones.

IT 108860-08-8P 108860-09-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with chloroformate ester)

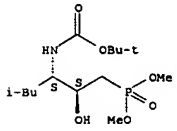
RN 108860-08-8 CAPLUS
CN Carbamic acid, [1-[2-(dimethoxyphosphinyl)-1-hydroxyethyl]-3-methylbutyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 108860-09-9 CAPLUS
CN Carbamic acid, [1-[2-(dimethoxyphosphinyl)-1-hydroxyethyl]-3-methylbutyl]-, 1,1-dimethylethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

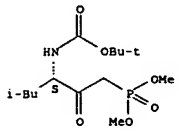
Absolute stereochemistry.



IT 108860-01-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)

RN 108860-01-1 CAPLUS
CN Carbamic acid, [(1S)-1-[(dimethoxyphosphinyl)acetyl]-3-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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